

PATENT COOPERATION TREATY


PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)



Applicant's or agent's file reference PC25571A		FOR FURTHER ACTION		See Form PCT/PEA/416
International application No. PCT/IB2005/000891		International filing date (day/month/year) 30.03.2005	Priority date (day/month/year) 07.04.2004	
International Patent Classification (IPC) or national classification and IPC C07D487/04, A61K31/519, A61P9/00				
Applicant PFIZER LIMITED				
<p>1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.</p> <p>2. This REPORT consists of a total of 4 sheets, including this cover sheet.</p> <p>3. This report is also accompanied by ANNEXES, comprising:</p> <p>a. <input type="checkbox"/> sent to the applicant and to the International Bureau a total of sheets, as follows:</p> <p><input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis of this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions).</p> <p><input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box.</p> <p>b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) , containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).</p>				
<p>4. This report contains indications relating to the following items:</p> <p><input checked="" type="checkbox"/> Box No. I Basis of the opinion</p> <p><input type="checkbox"/> Box No. II Priority</p> <p><input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability</p> <p><input type="checkbox"/> Box No. IV Lack of unity of invention</p> <p><input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement</p> <p><input type="checkbox"/> Box No. VI Certain documents cited</p> <p><input type="checkbox"/> Box No. VII Certain defects in the international application</p> <p><input type="checkbox"/> Box No. VIII Certain observations on the international application</p>				
Date of submission of the demand 22.06.2005		Date of completion of this report 28.02.2006		
Name and mailing address of the international preliminary examining authority:  European Patent Office D-80298 Munich Tel. +49 89 2399 - 0 Tx: 523656 epmu d Fax: +49 89 2399 - 4465		Authorized Officer Lauro, P Telephone No. +49 89 2399-		



**INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITY**

International application No.
PCT/IB2005/000891

Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language , which is the language of a translation furnished for the purposes of:
- ☐ international search (under Rules 12.3 and 23.1(b))
 - ☐ publication of the international application (under Rule 12.4)
 - ☐ international preliminary examination (under Rules 55.2 and/or 55.3)
2. With regard to the **elements*** of the international application, this report is based on *(replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report)*:

Description, Pages

1-172 as originally filed

Claims, Numbers

1-20 as originally filed

- ☐ a sequence listing and/or any related table(s) - see Supplemental Box Relating to Sequence Listing
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages
 - ☐ the claims, Nos.
 - ☐ the drawings, sheets/figs
 - ☐ the sequence listing (*specify*):
 - ☐ any table(s) related to sequence listing (*specify*):
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages
 - ☐ the claims, Nos.
 - ☐ the drawings, sheets/figs
 - ☐ the sequence listing (*specify*):
 - ☐ any table(s) related to sequence listing (*specify*):

* If item 4 applies, some or all of these sheets may be marked "superseded."

**INTERNATIONAL PRELIMINARY REPORT
ON PATENTABILITY**

International application No.
PCT/B2005/000891

Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Yes: Claims	1-20
	No: Claims	
Inventive step (IS)	Yes: Claims	1-20
	No: Claims	
Industrial applicability (IA)	Yes: Claims	1-17
	No: Claims	18-20

2. Citations and explanations (Rule 70.7):

see separate sheet

Re Item V.

- 1 Reference is made to the following document:

D1: WO 01/18004 A (MERCİ PATENT GMBH; JONAS, ROCHUS;
EGGENWEILER, HANS-MICHAEL; SCHELLING) 15 March 2001 (2001-03-15)
D2: WO 02/00660 A (MERCİ PATENT GMBH; JONAS, ROCHUS; SCHELLING,
PIERRE; CHRISTADLER, MARI) 3 January 2002 (2002-01-03)

2. The present application discloses pyrazolo-pyrimidine derivatives which are useful as inhibitors of PDE5.

3. **Novelty**

The compounds of the present application differ from the compounds disclosed in D1 and D2 in the nature of the substituent on the bicyclic nucleus. Novelty is therefore acknowledged.

4. **Inventive step**

According to the Applicant (see page 2, lines 4-6), the problem underlying the present application resides in the provision of compounds which are potent inhibitors of PDE5 and have improved selectivity in vitro and/or an extended half-life in vivo. In view of the fact that the PDE5 inhibitors disclosed in the prior art D1 and D2 are structurally very similar, and that the prior art already explored a variety of different substituents on the heterocyclic ring without that the qualitative activity were affected, the provision of experimental data for the compounds of the present application showing the alleged improved activity over the known compounds is considered to be essential for the acknowledgement of an inventive step. In the absence of such data, no inventive step can yet be acknowledged.

5. **Industrial applicability**

Claims 18-20 are directed to a method for treatment of the human or animal body by therapy.